

STIC Search Report

STIC Database Tracking Number: 175364

TO: Ben Sackey

Location: REM 5B31

Art Unit: 1626 January 4, 2006

Case Serial Number: 10/719184

From: Kathleen Fuller Location: EIC 1700 REMSEN 4B28

Phone: 571/272-2505

Kathleen.Fuller@uspto.gov

Search Notes

There were only 17 structures from the query and only 1 CA references from the structures, the applicant.								
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Scientific and Technical Information Center

SEARCH REQUEST FORM

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Requester's Fuil Name: BE	N SACKEY E	xaminer # : 73489 Da	nte: 12/30/00
Ari Unit: 1626 Phor	ne Number: 2- 0704	Serial Number: 10/	219_184
Location (Bld : Roomer: NEW 58)	3/(Mailbox #): Res	ults Format Preferred (circle)	PAPER DISK
*********************	***************	************	*****
To ensure an efficient and quality scare	h please attach a cupy of the cover s	heet, claims, and abstract or fill out	t the following:
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Title of Invention: Aylogo	lopropyl Comboxyl	ic amides as De	otassium chemnel
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Earliest Priority Date: 11 (22	(02	•	
Search Topic:			•
Please viewlde a detailed statement of the		• •	
elected species or structures, keywords, sy Define any terms that may have a special			or utility of the invention.
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For Sequence Sourches Only Picase in appropriate social number.	iclude all pertinent information (parc	nt, child, divisional, or issued patent	numbers) along with the
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STAFF USE ONLY	Type of Search	Vendors and cost where a	pplicable .
Searcher: X. Fuller	NA Sequence (#)	STN	Dialog
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=> FILE REG

FILE 'REGISTRY' ENTERED AT 10:41:05 ON 04 JAN 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JAN 2006 HIGHEST RN 871080-87-4
DICTIONARY FILE UPDATES: 3 JAN 2006 HIGHEST RN 871080-87-4

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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Structure search iteration limits have been increased. See HELP SLIMITS for details.

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FILE COVERS 1907 - 4 Jan 2006 VOL 144 ISS 2 FILE LAST UPDATED: 3 Jan 2006 (20060103/ED)

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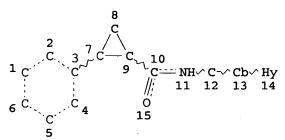
SACKEY 10/719184

01/04/2006 Page 2

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> D QUE

STR L3



17 structures from this query

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

IS MCY UNS AT 13 IS MCY AT 14 GGCAT

GGCAT

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1 N AT 14

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

17 SEA FILE=REGISTRY SSS FUL L3 1 SEA FILE=HCAPLUS ABB=ON L5 L7

the 17 structurer -

=> D L7 BIB ABS IND HITSTR

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN L7

AN 2004:470948 HCAPLUS

DN 141:38448

Preparation of arylcyclopropylcarboxylic amides as potassium channel TIapplicant

IN Wu, Yong-jin; Sun, Li-qiang; L'heureux, Alexandre

PΑ Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LΑ English

FAN CNT 1

FAM.	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
ΡI	WO 2004047738 WO 2004047738				A2 20040610 A3 20041007			WO 2003-US37305						20031121					
		W:	AE, CN, GE, LK, NZ, TM, BW, BY,	AG, CO, GH, LR, OM, TN, GH, KG,	AL, CR, GM, LS, PG, TR, GM, KZ,	AM, CU, HR, LT, PH, TT, KE, MD, GB,	AT, CZ, HU, LU, PL, TZ, LS, RU, GR,	AU, DE, ID, LV, PT, UA, MW, TJ, HU, CI,	AZ, DK, IL, MA, RO, UG, MZ, TM, IE,	DM, IN, MD, RU, UZ, SD, AT, IT,	DZ, IS, MG, SC, VC, SL, BE, LU,	EC, JP, MK, SD, VN, SZ, BG, MC,	EE, KE, MN, SE, YU, TZ, CH, NL,	EG, KG, MW, SG, ZA, UG, CY, PT,	ES, KP, MX, SK, ZM, ZM, CZ, RO,	FI, KR, MZ, SL, ZW, DE, SE,	GB, KZ, NI, SY, AM, DK, SI,	GD, LC, NO, TJ, AZ, EE, SK,	TC

US 2004110754 20040610 US 2003-719184 Α1 20031121 EP 1565190 **A2** 20050824 EP 2003-786986 20031121 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, R: IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRAI US 2002-428337P P 20021122 WO 2003-US37305 W 20031121

OS MARPAT 141:38448

GI

$$\begin{array}{c|cccc}
R^1 & O & R & R^3 \\
\hline
N & & & & \\
N & & & & \\
R^2 & & & & \\
R^5 & & & & \\
R^6 & & & & \\
\end{array}$$

The title compds. [I; R = alkyl, CF3, hydroxymethyl; R1, R2 = H, alkyl, halo, morpholin-4-yl; R4 = (un)substituted morpholin-4-yl, pyridinyl, pyrimidinyl, etc.; R5 = H, F; or R4 and R5 taken together = CH:CHCH:CH, CH2CH2O; R3, R6, R7 = H, F] which are openers or activators of KCNQ potassium channels (biol. data given), were prepared Thus, amidation of 1-(2,3-dihydrobenzofuran-5-yl)ethylamine with 2-(4-chlorophenyl)cyclopropanecarboxylic acid afforded the amide II. The present invention also provides pharmaceutical compns. comprising the compds. I, and the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

Ι

IC ICM A61K

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 27, 63

ST arylcyclopropylcarboxylic acid amide prepn potassium channel opener epilepsy pain; cyclopropanecarboxamide aryl prepn potassium channel opener migraine bipolar disorder; anxiolytic cyclopropanecarboxamide aryl prepn potassium channel opener; analgesic cyclopropanecarboxamide aryl prepn potassium channel opener; anticonvulsant cyclopropanecarboxamide aryl prepn potassium channel opener; antimigraine cyclopropanecarboxamide aryl prepn potassium channel opener

IT Pain

(acute, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)

IT Mental and behavioral disorders

(bipolar disorder, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)

IT Pain

(chronic, treatment of; preparation of arylcyclopropanecarboxamides as potassium channel openers)

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IT
     Nervous system, disease
        (degeneration, treatment of; preparation of arylcyclopropanecarboxamides as
        potassium channel openers)
IT
     Mental and behavioral disorders
        (depression, treatment of; preparation of arylcyclopropanecarboxamides as
        potassium channel openers)
IT
     Mental and behavioral disorders
        (mania, treatment of; preparation of arylcyclopropanecarboxamides as
        potassium channel openers)
IT
     Headache
        (migraine, treatment of; preparation of arylcyclopropanecarboxamides as
        potassium channel openers)
IT
     Analgesics
     Anticonvulsants
     Antidepressants
     Antimigraine agents
     Anxiolytics
     Nervous system agents
     Potassium channel openers
        (preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT
        (treatment of neuropathic pain; preparation of arylcyclopropanecarboxamides
        as potassium channel openers)
IT
     Anxiety
     Convulsion
     Epilepsy
        (treatment of; preparation of arylcyclopropanecarboxamides as potassium
        channel openers)
TT
     701913-63-5P
                    701913-64-6P
                                   701913-65-7P
                                                  701913-66-8P
                                                                  701913-67-9P
     701913-68-0P
                    701913-69-1P
                                   701913-70-4P
                                                  701913-71-5P
                                                                  701913-72-6P
     701913-73-7P
                    701913-74-8P
                                   701913-75-9P
                                                  701913-76-0P
     701913-77-1P 701913-78-2P 701913-79-3P
     701913-80-6P 701913-81-7P 701913-82-8P
     701913-83-9P 701913-84-0P
                                 701913-85-1P
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     701913-87-3P
                    701913-88-4P 701913-89-5P 701913-90-8P
     701913-91-9P 701913-92-0P 701913-93-1P
     701913-94-2P 701913-95-3P 701913-96-4P
     701913-97-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT
     110-91-8, Morpholine, reactions 827-54-3 939-58-2 1615-02-7
     1692-25-7
                 1866-38-2
                             4248-19-5 5685-38-1
                                                    14290-86-9 18944-77-9
     20595-30-6
                  69045-79-0
                               77771-02-9
                                            112898-33-6
                                                          122416-42-6
     132958-72-6
                   139305-96-7
                                 351019-18-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT
     90940-40-2P
                   91552-11-3P
                               175168-71-5P
                                               175168-72-6P 175275-74-8P
     199393-35-6P
                    204851-80-9P
                                   243665-10-3P
                                                  243665-11-4P
                                                                 243665-15-8P
     243665-16-9P
                    372183-83-0P
                                   372183-86-3P
                                                  388075-76-1P
                                                                 477312-85-9P
     477312-91-7P
                    477312-93-9P
                                   477312-94-0P
                                                  697804-10-7P
                                                                 701913-98-6P
     701913-99-7P
                    701914-00-3P
                                   701914-01-4P
                                                  701914-02-5P
                                                                 701914-03-6P
     701914-04-7P
                    701914-05-8P
                                   701914-06-9P
                                                  701914-07-0P
                                                                 701914-08-1P
     701914-09-2P
                   701914-10-5P
                                   701914-11-6P
                                                  701914-12-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of arylcyclopropanecarboxamides as potassium channel openers)
IT
     701913-77-1P 701913-78-2P 701913-79-3P
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701913-80-6P 701913-81-7P 701913-82-8P

SACKEY 10/719184 01/04/2006

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701913-83-9P 701913-84-0P 701913-89-5P 701913-90-8P 701913-91-9P 701913-92-0P 701913-93-1P 701913-94-2P 701913-95-3P 701913-96-4P 701913-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylcyclopropanecarboxamides as potassium channel openers) 701913-77-1 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

RN 701913-78-2 HCAPLUS

CN Cyclopropanecarboxamide, 2-(2-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-79-3 HCAPLUS

CN Cyclopropanecarboxamide, 2-(3-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-80-6 HCAPLUS

CN Cyclopropanecarboxamide, 2-(4-chlorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-81-7 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-82-8 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-83-9 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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Page 7

RN 701913-84-0 HCAPLUS

CN Cyclopropanecarboxamide, 2-(2,5-difluorophenyl)-N-[(1R)-1-[4-fluoro-3-(4-morpholinyl)phenyl]-2-hydroxyethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-89-5 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1R)-1-[3-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]phenyl]ethyl]-2-(4-fluorophenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 701913-90-8 HCAPLUS

CN Cyclopropanecarboxamide, 2-(2,5-difluorophenyl)-N-[(1R)-1-[3-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]phenyl]ethyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 701913-91-9 HCAPLUS

CN Cyclopropanecarboxamide, 2-(3-fluorophenyl)-N-[(1S)-1-[3-(3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-92-0 HCAPLUS

CN Cyclopropanecarboxamide, 2-(2,5-difluorophenyl)-N-[(1S)-1-[3-(3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-93-1 HCAPLUS

CN Cyclopropanecarboxamide, 2-phenyl-N-[(1S)-1-[3-(3-pyridinyl)phenyl]ethyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-94-2 HCAPLUS

CN Cyclopropanecarboxamide, 2-(3-fluorophenyl)-N-[(1S)-1-[3-(6-fluoro-3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-95-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1S)-1-[3-(6-chloro-3-pyridinyl)phenyl]ethyl]-2-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-96-4 HCAPLUS

CN Cyclopropanecarboxamide, N-[(1S)-1-[3-(2-fluoro-3-pyridinyl)phenyl]ethyl]-2-phenyl-, (1R,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 701913-97-5 HCAPLUS

CN Cyclopropanecarboxamide, 2-(2-fluorophenyl)-N-[(1S)-1-[3-(2-fluoro-3-pyridinyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

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Absolute stereochemistry.